Case/Application number: **10596086** PALM
Priority App. Filing Date:
Format for Search Results: **SCORE**

Meaning of unusual acronyms or initialisms:

Identify the novelty:

Additional Comments:
Search compounds of claim 4, including where the benzene substituent can be in any position, any free position can have lower alkyl, and any lower alkyl can be hydrogen.

```
=> fil hcaplu
FILE 'HCAPLUS' ENTERED AT 14:44:45 ON 05 JAN 2010
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FILE COVERS 1907 - 5 Jan 2010  VOL 152 ISS 2
FILE LAST UPDATED: 4 Jan 2010  (20100104/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED:  Oct 2009
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE:  Oct 2009

HCAplus now includes complete International Patent Classification (IPC)
reclassification data for the third quarter of 2009.

CAS Information Use Policies apply and are available at:

http://www.cas.org/legal/infopolicy.html

This file contains CAS Registry Numbers for easy and accurate
substance identification.

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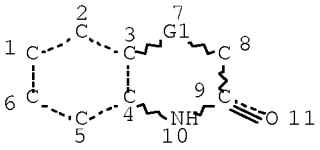

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DEFAULT ECLEVEL IS LIMITED

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NUMBER OF NODES IS 11

STEREO ATTRIBUTES: NONE
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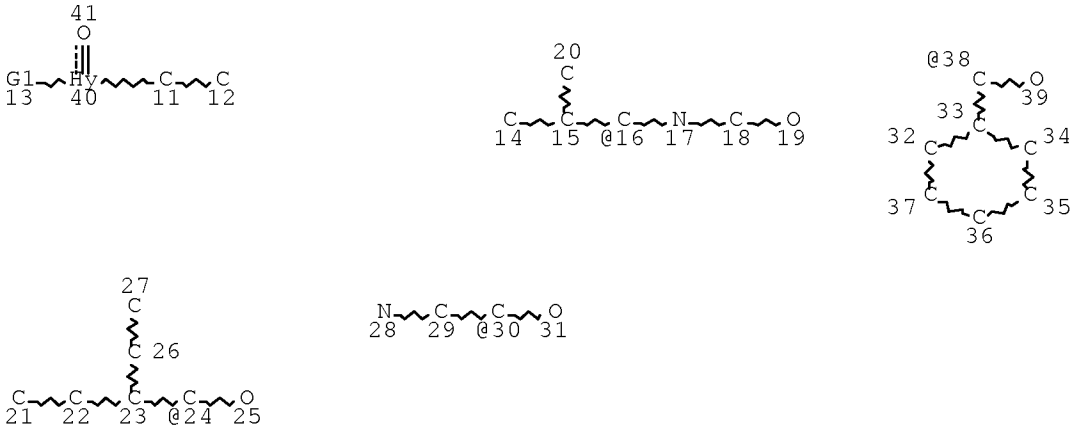
L6 STR



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STEREO ATTRIBUTES: NONE
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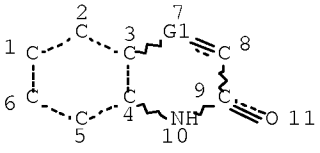

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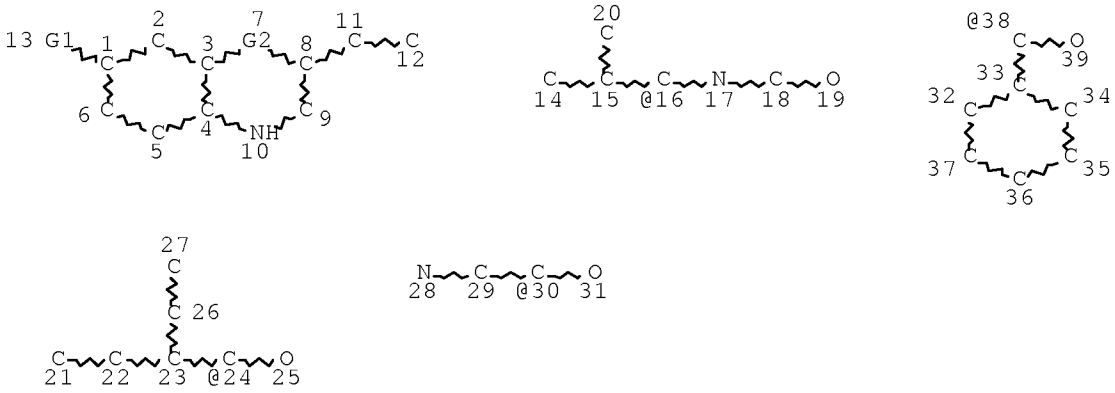

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DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
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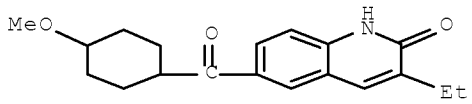
L14 ANSWER 1 OF 8 HCAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2007:1452342 HCAPLUS Full-text
DOCUMENT NUMBER: 148:158850
TITLE: Comparative Molecular Field Analysis of quinoline derivatives as selective and noncompetitive mGluR1 antagonists
AUTHOR(S): Sekhar, Y. Nataraja; Nayana, M. Ravi Shashi; Ravikumar, Muttineni; Mahmood, S. k.
CORPORATE SOURCE: Bioinformatics Division, Department of Environmental Microbiology, Osmania University, Hyderabad, India
SOURCE: Chemical Biology & Drug Design (2007), 70(6), 511-519
CODEN: CBDDAL; ISSN: 1747-0277
PUBLISHER: Blackwell Publishing Ltd.

DOCUMENT TYPE: Journal
LANGUAGE: English
AB A 3D-QSAR Comparative Mol. Field Anal. (Co-MFA) of 45 quinoline derivs. as metabotropic glutamate receptor subtype 1 (mGluR1) inhibitors was investigated. The Co-MFA anal. provided a model with q2 value of 0.827 and r2 value of 0.990, in which q2 value of 0.827 and an r2 value of 0.990, in which the good correlation between the inhibitory activities and the steric and electrostatic mol. field around the analogs was observed The predictive ability of the models was validated using the set of 12 compds. that were not included in the training set of 33 compds. These results provided further understanding of the relationship between the structural features of quinolone derivs. and its activities, which should be applicable to design and find new potential mGluR1 inhibitors.
IT 1003022-60-3
RL: PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(comparative mol. field anal. of quinoline derivs. as selective and noncompetitive mGluR1 antagonists)
RN 1003022-60-3 HCAPLUS
CN 2(1H)-Quinolinone, 3-ethyl-6-[(4-methoxycyclohexyl)carbonyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)
REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 2 OF 8 HCAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2005:567163 HCAPLUS Full-text
DOCUMENT NUMBER: 143:78213
TITLE: Preparation of cyclohexylalkyl quinolinone and quinoxalinone derivatives as poly(ADP-ribose) polymerase (PARP) inhibitors
INVENTOR(S): Mabire, Dominique Jean-Pierre; Van Dun, Jacobus Alphonsus Josephus; Somers, Maria Victorina Francisca; Wouters, Walter Boudewijn Leopold
PATENT ASSIGNEE(S): Janssen Pharmaceutica N. V., Belg.
SOURCE: PCT Int. Appl., 59 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005058843	A1	20050630	WO 2004-EP13165	20041118

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,

NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
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AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO,
SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR,
NE, SN, TD, TG

AU 2004299183	A1	20050630	AU 2004-299183		20041118
CA 2548273	A1	20050630	CA 2004-2548273		20041118
EP 1694653	A1	20060830	EP 2004-803192		20041118
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BR 2004017571	A	20070320	BR 2004-17571		20041118
JP 2007513898	T	20070531	JP 2006-543409		20041118
SG 151250	A1	20090430	SG 2009-1548		20041118
US 20090042881	A1	20090212	US 2006-596083		20060530
MX 2006006573	A	20060731	MX 2006-6573		20060609
IN 2006DN03331	A	20070824	IN 2006-DN3331		20060609
ZA 2006004774	A	20071128	ZA 2006-4774		20060609
KR 2006108753	A	20061018	KR 2006-713344		20060703
NO 2006003129	A	20060705	NO 2006-3129		20060705
PRIORITY APPLN. INFO.:			EP 2003-78918	A	20031210
			WO 2004-EP13165	W	20041118

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): CASREACT 143:78213; MARPAT 143:78213
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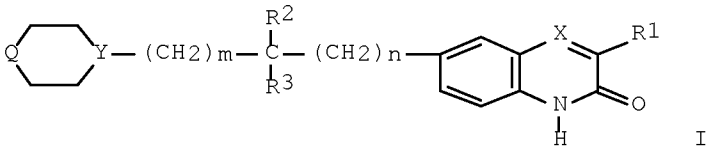

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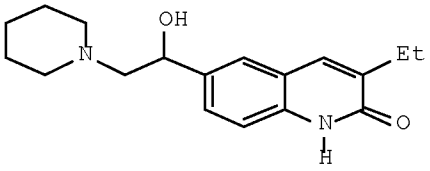


II

AB Title compds. I [n = 0-1; m = 0-1; X = N, CR4; Y = N, CH; Q = NH, O, CO, etc.; R1 = alkyl, thienyl; R2 = H or together with R3 may form O; R3 = H, alkyl, OH, etc. or R3 = (CH2)pZ; R4 = H or together with R1 may form (CH=CH)2; p = 0-2; Z = (un)substituted heterocycle] and their pharmaceutically acceptable salts, are prepared and disclosed as inhibitors of poly(ADP-ribose) polymerase (PARP). Thus, e.g., II was prepared by reaction of 3-ethyl-2(1H)-quinolinone with chloro-acetyl chloride followed by coupling with piperidine and subsequent reduction The inhibitory activity of I towards PARP-1 was evaluated in scintillation proximity assays and in filtration assays and it was revealed that compds. of the invention

displayed inhibitory activity at initial test concns. of 10-6 and 10-5 M, resp. I as inhibitors of poly(ADP-ribose) polymerase should prove useful in the treatment of PARP-1 mediated disorders. Pharmaceutical compns. comprising I are disclosed.

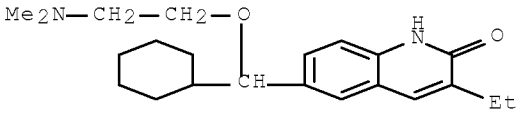
IT 855444-04-1P

RL: PAC (Pharmacological activity); PEP (Physical, engineering or chemical process); PYP (Physical process); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)

(preparation of cyclohexylalkyl quinolinone and quinoxalinone derivs. as poly(ADP-ribose) polymerase (PARP) inhibitors)

RN 855444-04-1 HCAPLUS

CN 2(1H)-Quinolinone, 6-[cyclohexyl[2-(dimethylamino)ethoxy]methyl]-3-ethyl- (CA INDEX NAME)



IT 855444-06-3P 855444-08-5P

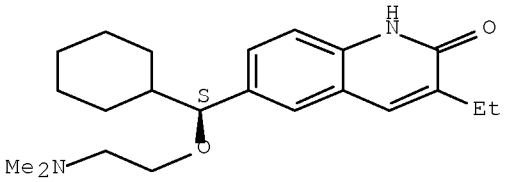
RL: PAC (Pharmacological activity); PUR (Purification or recovery); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of cyclohexylalkyl quinolinone and quinoxalinone derivs. as poly(ADP-ribose) polymerase (PARP) inhibitors)

RN 855444-06-3 HCAPLUS

CN 2(1H)-Quinolinone, 6-[(S)-cyclohexyl[2-(dimethylamino)ethoxy]methyl]-3-ethyl- (CA INDEX NAME)

Absolute stereochemistry.



RN 855444-08-5 HCAPLUS

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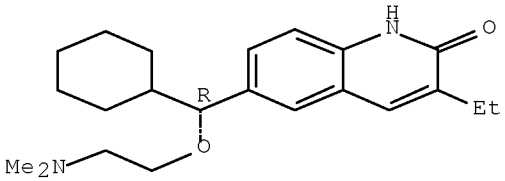
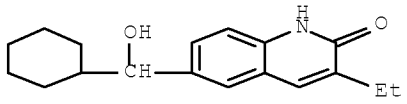
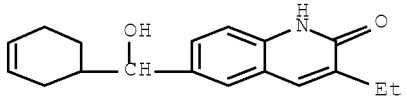
Absolute stereochemistry.



IT 855444-38-1P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of cyclohexylalkyl quinolinone and quinoxalinone derivs. as poly(ADP-ribose) polymerase (PARP) inhibitors)
RN 855444-38-1 HCAPLUS
CN 2(1H)-Quinolinone, 6-(cyclohexylhydroxymethyl)-3-ethyl- (CA INDEX NAME)



IT 854523-93-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of cyclohexylalkyl quinolinone and quinoxalinone derivs. as poly(ADP-ribose) polymerase (PARP) inhibitors)
RN 854523-93-6 HCAPLUS
CN 2(1H)-Quinolinone, 6-(3-cyclohexen-1-ylhydroxymethyl)-3-ethyl- (CA INDEX NAME)



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)
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L14 ANSWER 3 OF 8 HCAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2005:523430 HCAPLUS Full-text
DOCUMENT NUMBER: 143:60003
TITLE: Preparation of 6-substituted 2-quinolinones and 2-quinoxalinones as poly(ADP-ribose) polymerase inhibitors
INVENTOR(S): Mabire, Dominique Jean-Pierre; Guillemont, Jerome Emile Georges; Van Dun, Jacobus Alphonsus Josephus; Somers, Maria Victorina Francisca; Wouters, Walter Boudewijn Leopold
PATENT ASSIGNEE(S): Janssen Pharmaceutica N. V., Belg.
SOURCE: PCT Int. Appl., 48 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005054210	A1	20050616	WO 2004-EP13164	20041118
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CA 2546657	A1	20050616	CA 2004-2546657	20041118
EP 1709012	A1	20061011	EP 2004-819602	20041118
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SG 151249	A1	20090430	SG 2009-1531	20041118
IN 2006DN03071	A	20070810	IN 2006-DN3071	20060529
US 20070129375	A1	20070607	US 2006-596086	20060530
MX 2006006255	A	20060809	MX 2006-6255	20060602
KR 2006118534	A	20061123	KR 2006-711234	20060608
NO 2006003028	A	20060628	NO 2006-3028	20060628
PRIORITY APPLN. INFO.:			EP 2003-78859 A	20031205
			WO 2004-EP13164 W	20041118

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 143:60003; MARPAT 143:60003

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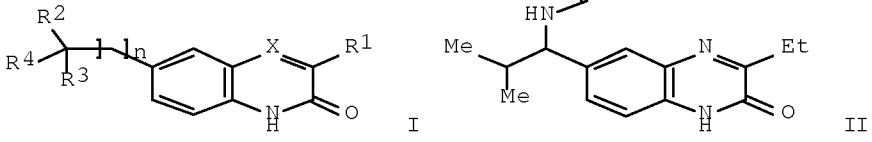


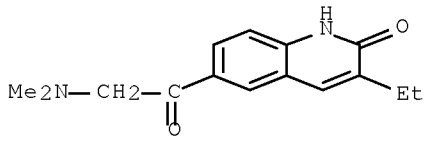
AB The title compds. I [n = 0-2; X = N, CR5; R5 = H or taken together with R1 may form CH:CHCH:CH; R1 = alkyl, thienyl; R2 = H, OH, or taken together with R3 or R4 may form O; R3 = OH, OR8, SR9, etc.; R8 = alkyl, alkylcarbonyl, dialkylaminoalkyl; R9 = dialkylaminoalkyl; R4 = H, alkyl, furanyl, etc.; with the provision], useful for the treatment of a PARP mediated disorder, were prepared E.g., a multi-step synthesis of II, starting from 1-(4-amino-3-nitrophenyl)-2-methyl-1-propanone, was given. The exemplified compds. I were tested in an in vitro assay based on SPA technol. and in an in vitro filtration assay assessing PARP-1 activity (data given). The pharmaceutical composition comprising the compound I is disclosed.

IT 854523-79-8P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP

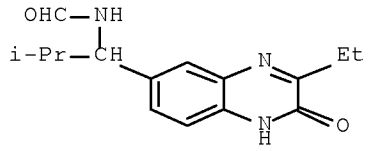
(Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of 6-substituted 2-quinolinones and 2-quinoxalinones as poly(ADP-ribose) polymerase inhibitors)

RN 854523-79-8 HCAPLUS
CN 2(1H)-Quinolinone, 6-[2-(dimethylamino)acetyl]-3-ethyl- (CA INDEX NAME)



IT 854523-77-6P 854523-81-2P 854523-83-4P
854523-93-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 6-substituted 2-quinolinones and 2-quinoxalinones as poly(ADP-ribose) polymerase inhibitors)

RN 854523-77-6 HCAPLUS
CN Formamide, N-[1-(3-ethyl-1,2-dihydro-2-oxo-6-quinoxalinyl)-2-methylpropyl]- (CA INDEX NAME)



RN 854523-81-2 HCAPLUS
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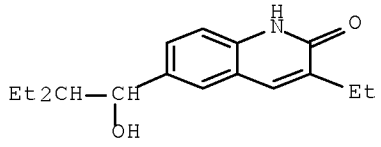

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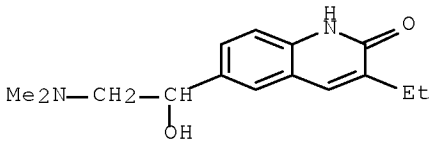

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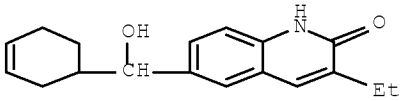

OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)
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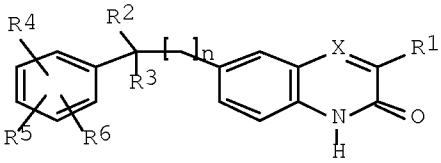
L14 ANSWER 4 OF 8 HCAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2005:523424 HCAPLUS Full-text
DOCUMENT NUMBER: 143:60001
TITLE: Preparation of 6-alkenyl and 6-phenylalkyl substituted 2-quinolinones and 2-quinoxalinones as poly(ADP-ribose) polymerase inhibitors
INVENTOR(S): Mabire, Dominique Jean-pierre; Guillemont, Jerome Emile Georges; Van Dun, Jacobus Alphonsus Josephus; Somers, Maria Victorina Francisca; Wouters, Walter Boudewijn Leopold
PATENT ASSIGNEE(S): Janssen Pharmaceutica N. V., Belg.
SOURCE: PCT Int. Appl., 102 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005054201	A1	20050616	WO 2004-EP13163	20041118
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004295058	A1	20050616	AU 2004-295058	20041118

CA 2546300	A1	20050616	CA 2004-2546300	20041118
EP 1687277	A1	20060809	EP 2004-819601	20041118
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS				
CN 1882547	A	20061220	CN 2004-80034176	20041118
BR 2004016206	A	20061226	BR 2004-16206	20041118
JP 2007511574	T	20070510	JP 2006-540338	20041118
SG 150533	A1	20090330	SG 2009-1197	20041118
US 20070072842	A1	20070329	US 2006-595891	20060518
IN 2006DN02813	A	20070803	IN 2006-DN2813	20060518
MX 2006005687	A	20060817	MX 2006-5687	20060519
ZA 2006004075	A	20070926	ZA 2006-4075	20060519
KR 2006115393	A	20061108	KR 2006-710201	20060525
NO 2006002894	A	20060809	NO 2006-2894	20060620
PRIORITY APPLN. INFO.:			WO 2003-EP13028 A	20031120
			EP 2003-78860 A	20031205
			WO 2003-EP130 A	20031120
			WO 2004-EP13163 W	20041118

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): CASREACT 143:60001; MARPAT 143:60001
GI



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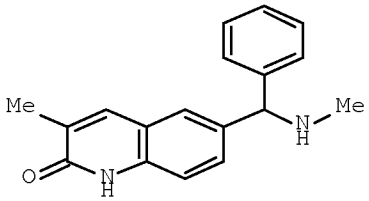
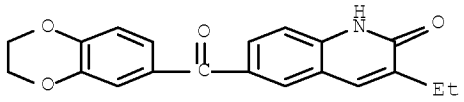


II

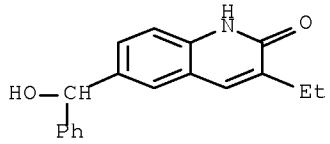
AB The title compds. I [n = 0-2; X = N, CR7; R7 = H or taken together with R1 may form CH:CHCH:CH; R1 = alkyl, thiophenyl; R2 = H, OH, alkyl, alkynyl or taken together with R3 may form O; R3 = OH, OR10, SR11, etc.; R10, R11 = CHO, alkyl, (alkyl)amino, etc.; R4-R6 = H, halo, trihalomethyl, etc.; with the provision], useful for the treatment of a PARP mediated disorder, were prepared E.g., a multi-step synthesis of II, starting from bromobenzene and 3-methyl-6-quinolinecarboxaldehyde, was given. The exemplified compds. I were tested in an in vitro assay based on SPA technol. and in an in vitro filtration assay assessing PARP-1 activity (data given). The pharmaceutical composition comprising the compound I is disclosed.

IT 854532-59-5P 854534-00-2P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of 6-alkenyl and 6-phenylalkyl substituted 2-quinolinones and

2-quinoxalinones as poly(ADP-ribose) polymerase inhibitors)
RN 854532-59-5 HCAPLUS
CN 2(1H)-Quinolinone, 6-[(2,3-dihydro-1,4-benzodioxin-6-yl)carbonyl]-3-ethyl- (CA INDEX NAME)



RN 854534-00-2 HCAPLUS
CN 2(1H)-Quinolinone, 3-ethyl-6-(hydroxyphenylmethyl)- (CA INDEX NAME)



IT 854532-60-8P 854532-69-7P 854532-85-7P
854533-23-6P 854533-42-9P 854533-51-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 6-alkenyl and 6-phenylalkyl substituted 2-quinolinones and 2-quinoxalinones as poly(ADP-ribose) polymerase inhibitors)
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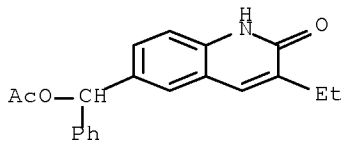
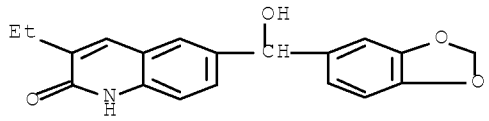
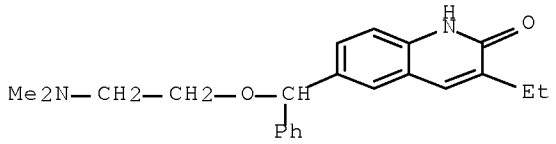


RN 854532-69-7 HCAPLUS
CN 2(1H)-Quinolinone, 6-(1,3-benzodioxol-5-ylhydroxymethyl)-3-ethyl- (CA INDEX NAME)



RN 854532-85-7 HCAPLUS

CN 2(1H)-Quinolinone, 6-[[2-(dimethylamino)ethoxy]phenylmethyl]-3-ethyl- (CA INDEX NAME)



RN 854533-23-6 HCAPLUS
CN 2(1H)-Quinolinone, 6-[(2,3-dihydro-1,4-benzodioxin-6-yl)[2-(dimethylamino)ethoxy]methyl]-3-ethyl-, ethanedioate (2:3) (CA INDEX NAME)

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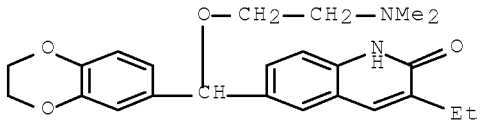
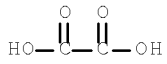
CRN 854533-22-5
CMF C24 H28 N2 O4

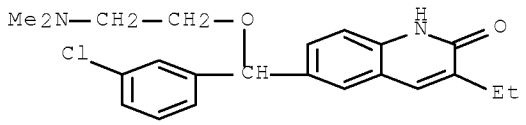


CM 2

CRN 144-62-7
CMF C2 H2 O4



RN 854533-42-9 HCAPLUS
CN 2(1H)-Quinolinone, 6-[(3-chlorophenyl)[2-(dimethylamino)ethoxy]methyl]-3-ethyl- (CA INDEX NAME)

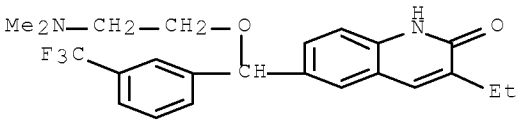


RN 854533-51-0 HCAPLUS
CN 2(1H)-Quinolinone, 6-[[2-(dimethylamino)ethoxy][3-(trifluoromethyl)phenyl]methyl]-3-ethyl-, ethanedioate (1:1) (CA INDEX

NAME)

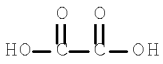
CM 1

CRN 854533-50-9
CMF C23 H25 F3 N2 O2

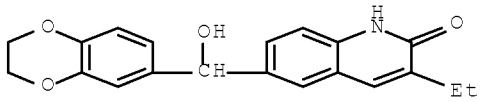


CM 2

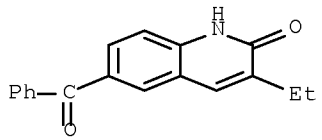
CRN 144-62-7
CMF C2 H2 O4



IT 854534-40-0P 854534-42-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 6-alkenyl and 6-phenylalkyl substituted 2-quinolinones and 2-quinoxalinones as poly(ADP-ribose) polymerase inhibitors)
RN 854534-40-0 HCAPLUS
CN 2(1H)-Quinolinone, 6-[(2,3-dihydro-1,4-benzodioxin-6-yl)hydroxymethyl]-3-ethyl- (CA INDEX NAME)



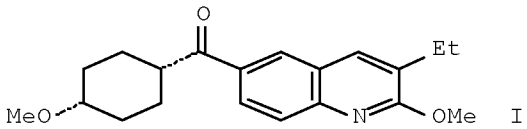
RN 854534-42-2 HCAPLUS
CN 2(1H)-Quinolinone, 6-benzoyl-3-ethyl- (CA INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD

(2 CITINGS)
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 5 OF 8 HCAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2005:80538 HCAPLUS Full-text
DOCUMENT NUMBER: 142:316680
TITLE: Synthesis, Structure-Activity Relationship, and Receptor Pharmacology of a New Series of Quinoline Derivatives Acting as Selective, Noncompetitive mGlu1 Antagonists
AUTHOR(S): Mabire, Dominique; Coupa, Sophie; Adelinet, Christophe; Poncelet, Alain; Simonnet, Yvan; Venet, Marc; Wouters, Ria; Lesage, Anne S. J.; Van Beijsterveldt, Ludy; Bischoff, Francois
CORPORATE SOURCE: Department of Medicinal Chemistry, Johnson & Johnson Pharmaceutical Research Development, Val de Reuil, F-27106, Fr.
SOURCE: Journal of Medicinal Chemistry (2005), 48(6), 2134-2153
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 142:316680
GI



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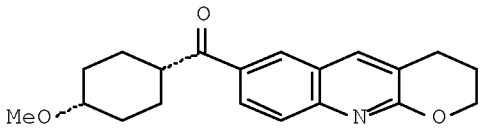


II

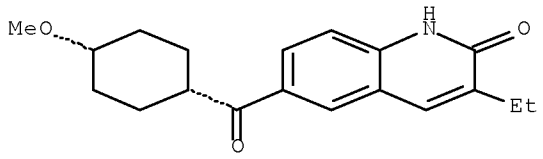
AB Acyl-substituted quinolines and fused quinolines such as I and II are prepared as noncompetitive antagonists of the metabotropic glutamate receptor mGluR1; their activities in recombinant and human mGluR1 and the metabolic stabilities of some of the compds. in human liver microsomes are determined Methoxycyclohexylcarbonylquinoline I is prepared and found to be a mGlu1 antagonist with an IC50 value of 20 nM for the rat mGlu1 receptor. Using I as a lead compound, other quinolines are prepared and tested for antagonism of mGluR1; cis-methoxycyclohexanecarbonylpyranoquinoline II is found to antagonize human mGluR1 in a signal transduction-mediated assay with an IC50 value of 0.55 nM. 77% Of a 30 μM solution of II is metabolized by human liver microsomes in 30 min.; analogous data for other quinolines are obtained.
IT 409340-70-1P
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation, structure-activity relationships, and metabolic stabilities of quinolines and fused quinolines prepared as competitive antagonists for the metabotropic glutamate receptor mGluR1)

RN 409340-70-1 HCAPLUS

CN 2(1H)-Quinolinone, 3-ethyl-6-[(cis-4-methoxycyclohexyl)carbonyl]- (CA INDEX NAME)

Relative stereochemistry.



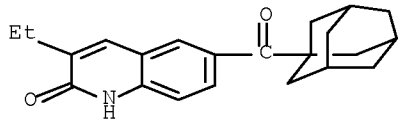
IT 409344-33-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation, structure-activity relationships, and metabolic stabilities of quinolines and fused quinolines prepared as competitive antagonists for the metabotropic glutamate receptor mGluR1)

RN 409344-33-8 HCAPLUS

CN 2(1H)-Quinolinone, 3-ethyl-6-(tricyclo[3.3.1.13,7]dec-1-ylcarbonyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 27 THERE ARE 27 CAPLUS RECORDS THAT CITE THIS RECORD (27 CITINGS)

REFERENCE COUNT: 49 THERE ARE 49 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 6 OF 8 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2003:796538 HCAPLUS Full-text

DOCUMENT NUMBER: 139:323440

TITLE: Preparation of radiolabeled quinolines and quinolinones as metabotropic glutamate receptor mGluR1 antagonists for use in positron emission tomography.

INVENTOR(S): Lesage, Anne Simone Josephine; Bischoff, Francois Paul; Janssen, Cornelus Gerardus Maria; Lavreysen, Hilde

PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.

SOURCE: PCT Int. Appl., 148 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003082350	A2	20031009	WO 2003-EP3240	20030326
WO 2003082350	A3	20040304		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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AU 2003226737	A1	20031013	AU 2003-226737	20030326
AU 2003226737	B2	20080904		
BR 2003008945	A	20050104	BR 2003-8945	20030326
EP 1492571	A2	20050105	EP 2003-745282	20030326
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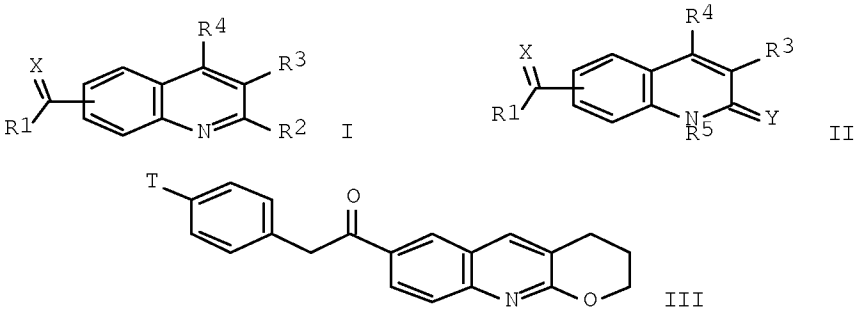
CN 1642580	A	20050720	CN 2003-807387	20030326
JP 2005524679	T	20050818	JP 2003-579882	20030326
NZ 535438	A	20060831	NZ 2003-535438	20030326
IN 2004DN02631	A	20050401	IN 2004-DN2631	20040908
US 20060083676	A1	20060420	US 2004-509069	20040924
US 7517517	B2	20090414		
MX 2004009435	A	20050125	MX 2004-9435	20040928
ZA 2004007820	A	20051011	ZA 2004-7820	20040928
NO 2004004635	A	20041027	NO 2004-4635	20041027
PRIORITY APPLN. INFO.:			EP 2002-76254 A	20020329
			WO 2003-EP3240 W	20030326

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 139:323440

GI



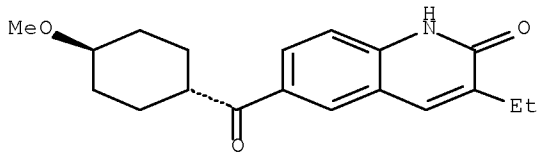
AB Radiolabeled title compds. [I, II; X = O, S, C(R6)2, NR7; Y = O, S; R1 = (substituted) alkyl, cycloalkyl, cycloalkylalkyl, thienyl, quinolinyl, etc.; R2 = H, halo, cyano, alkyl, amino, heterocyclyl, etc.; R3, R4 = H, halo, OH, cyano, alkyl, alkoxy, etc.; R2R3 = (CH2)3-6, Z4CH2CH2CH2, Z4CH2CH2, etc.; Z4 = O, S, SO2, NR11; R11 = H, alkyl, PhCH2, alkoxycarbonyl; R3R4 = (CH2)4, CH:CHCH:CH; R5 = H, cycloalkyl, piperidinyl, oxothienyl, tetrahydrothienyl, aralkyl, alkoxyalkyl, etc.;

R6 = H, aryl, alkyl, aminoalkyl; R7 = amino, OH], were prepared Most preferred are radiolabeled compds. in which the radioactive isotope is selected from 3H, 11C and 18F. The invention also relates to their use in a diagnostic method, in particular for marking and identifying a mGluR1 receptor in biol. material, as well as to their use for imaging an organ, in particular using positron emission tomog. (PET). Thus, title compound (III) was prepared by tritiation of the corresponding bromide in THF using tritium gas and Pd/C catalyst. The purified product showed specific activity of 25 Ci/mmol.

IT 409340-69-8P 409340-70-1P 409341-02-2P
409344-31-6P 409344-32-7P 409344-33-8P
409344-34-9P 409344-35-0P 409344-36-1P
409344-37-2P 409344-38-3P 409344-39-4P
409344-45-2P 409344-50-9P 409344-62-3P
409344-64-5P 409344-66-7P 409344-72-5P
409344-83-8P 409344-85-0P 409345-13-7P
409345-52-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of radiolabeled quinolines and quinolinones as metabotropic glutamate receptor mGluR1 antagonists for use in positron emission tomog.)

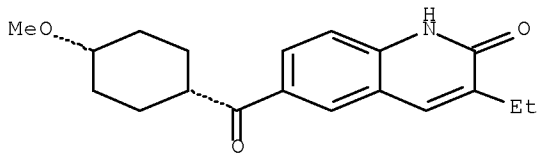
RN 409340-69-8 HCAPLUS
CN 2(1H)-Quinolinone, 3-ethyl-6-[(trans-4-methoxycyclohexyl)carbonyl]- (CA INDEX NAME)

Relative stereochemistry.



RN 409340-70-1 HCAPLUS
CN 2(1H)-Quinolinone, 3-ethyl-6-[(cis-4-methoxycyclohexyl)carbonyl]- (CA INDEX NAME)

Relative stereochemistry.



RN 409341-02-2 HCAPLUS
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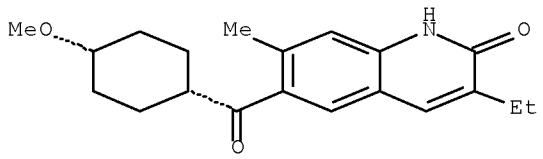
Relative stereochemistry.



RN 409344-31-6 HCAPLUS
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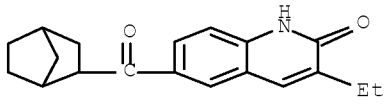
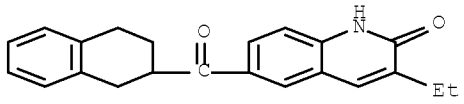
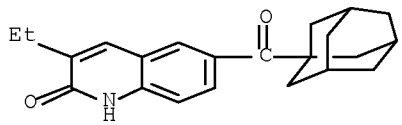


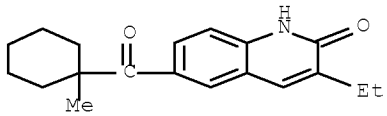
RN 409344-32-7 HCAPLUS
CN 2(1H)-Quinolinone, 3-ethyl-6-[(1,2,3,4-tetrahydro-2-naphthalenyl)carbonyl]- (CA INDEX NAME)



RN 409344-33-8 HCAPLUS
CN 2(1H)-Quinolinone, 3-ethyl-6-(tricyclo[3.3.1.13,7]dec-1-ylcarbonyl)- (CA INDEX NAME)

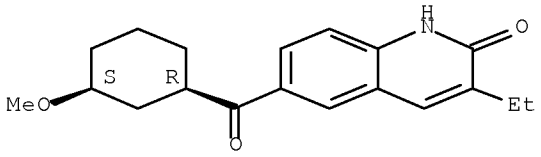


RN 409344-34-9 HCAPLUS
CN 2(1H)-Quinolinone, 3-ethyl-6-[(1-methylcyclohexyl)carbonyl]- (CA INDEX NAME)



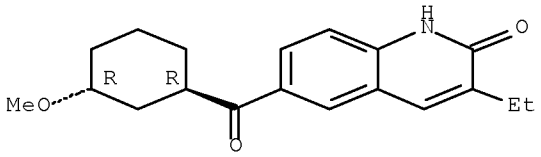
RN 409344-35-0 HCAPLUS
CN 2(1H)-Quinolinone, 3-ethyl-6-[[(1R,3S)-3-methoxycyclohexyl]carbonyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.

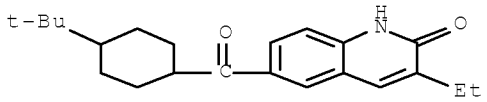


RN 409344-36-1 HCAPLUS
CN 2(1H)-Quinolinone, 3-ethyl-6-[[(1R,3R)-3-methoxycyclohexyl]carbonyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



RN 409344-37-2 HCAPLUS
CN 2(1H)-Quinolinone, 6-[[4-(1,1-dimethylethyl)cyclohexyl]carbonyl]-3-ethyl- (CA INDEX NAME)



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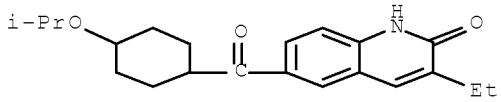

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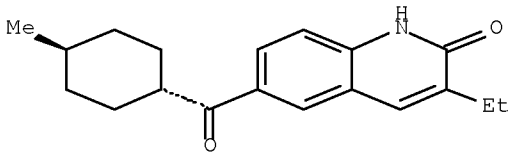
CN 2(1H)-Quinolinone, 3-ethyl-6-[(trans-4-methylcyclohexyl)carbonyl]- (CA INDEX NAME)

Relative stereochemistry.



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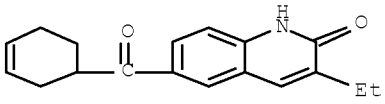

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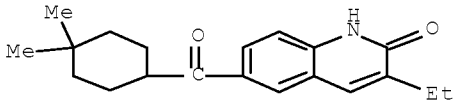

RN 409344-62-3 HCAPLUS
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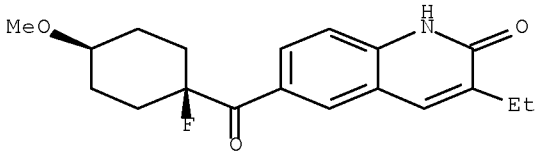
Relative stereochemistry.



RN 409344-64-5 HCAPLUS
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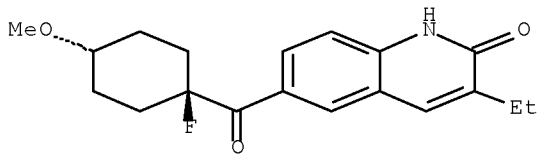
Relative stereochemistry.



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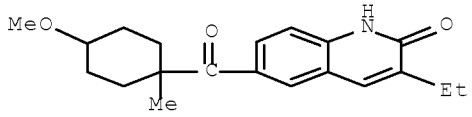

RN 409344-72-5 HCAPLUS
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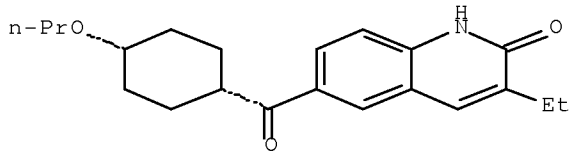
Relative stereochemistry.



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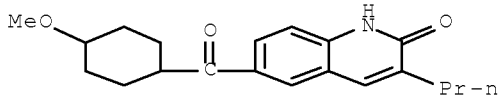

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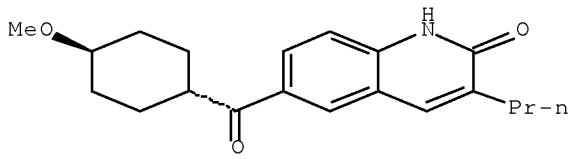
Relative stereochemistry.



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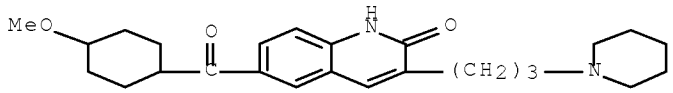
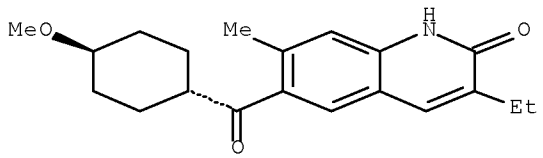


RN 409345-52-4 HCAPLUS
CN 2(1H)-Quinolinone, 3-ethyl-6-[(trans-4-methoxycyclohexyl)carbonyl]-7-methyl- (CA INDEX NAME)

Relative stereochemistry.



OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 7 OF 8 HCAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2002:275968 HCAPLUS Full-text
DOCUMENT NUMBER: 136:309857
TITLE: Preparation of quinolines and quinolinones as metabotropic glutamate receptor antagonists
INVENTOR(S): Mabire, Dominique Jean-Pierre; Venet, Marc Gaston; Coupa, Sophie; Poncelet, Alain Philippe; Lesage, Anne Simone Josephine
PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.
SOURCE: PCT Int. Appl., 114 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002028837	A1	20020411	WO 2001-EP11135	20010925

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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

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US	7629468	B2	20091208		

PRIORITY APPLN. INFO.:	EP 2000-203419	A	20001002
	WO 2001-EP11135	W	20010925
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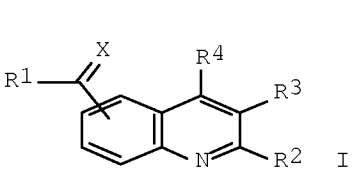
OTHER SOURCE(S): MARPAT 136:309857

GI



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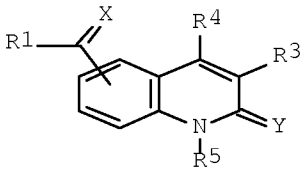

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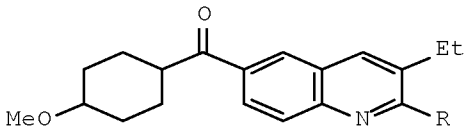


III

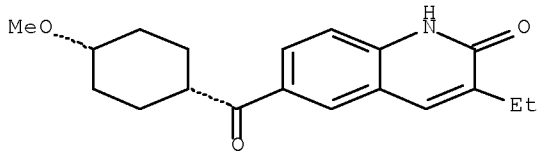
AB The title compds. [I or II; X = O, C(R6)2; (wherein R6 = H, aryl, alkyl, etc.); R1 = alkyl, aryl, thienyl, etc.; R2 = H, halo, CN, etc.; R3, R4 = H, alkyl; or R2 and R3 may be taken together to form (CH2)3, (CH2)4, CH:CHCH:CH, etc.; or R3 and R4 may be taken together to form CH:CHCH:CH, (CH2)4; R5 = H, cycloalkyl, piperidinyl, etc.; Y = O, S; or Y and R5 may be taken together to form CH:NN, N:NN, NCH:CH], useful for treating or preventing glutamate-induced diseases of the central nervous system, were prepared Thus, reacting cis-III [R = Cl] with SnMe4 in the presence of Pg(PPh3)4 in PhMe afforded 17% cis-III [R = Me] which showed antagonism at a dose of 2.5 mg/kg bodyweight in cold allodynia test in rats with a Bennett ligation.

IT 409340-70-1P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of quinolines and quinolinones as metabotropic glutamate receptor antagonists)

RN 409340-70-1 HCAPLUS

CN 2(1H)-Quinolinone, 3-ethyl-6-[(cis-4-methoxycyclohexyl)carbonyl]- (CA INDEX NAME)

Relative stereochemistry.



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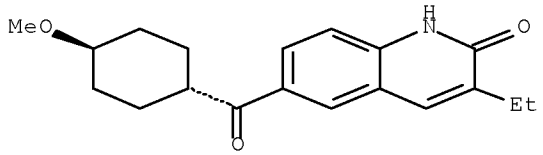
409344-50-9P 409344-62-3P 409344-64-5P
409344-66-7P 409344-72-5P 409344-83-8P
409344-85-0P 409345-13-7P 409345-52-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinolines and quinolinones as metabotropic glutamate receptor antagonists)

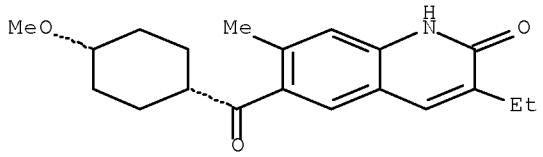
RN 409340-69-8 HCAPLUS
CN 2(1H)-Quinolinone, 3-ethyl-6-[(trans-4-methoxycyclohexyl)carbonyl]- (CA INDEX NAME)

Relative stereochemistry.



RN 409341-02-2 HCAPLUS
CN 2(1H)-Quinolinone, 3-ethyl-6-[(cis-4-methoxycyclohexyl)carbonyl]-7-methyl- (CA INDEX NAME)

Relative stereochemistry.



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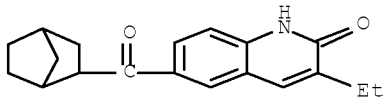

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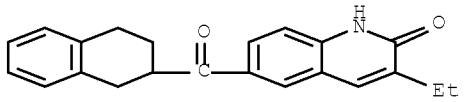
CN 2(1H)-Quinolinone, 3-ethyl-6-[(1,2,3,4-tetrahydro-2-naphthalenyl)carbonyl]- (CA INDEX NAME)



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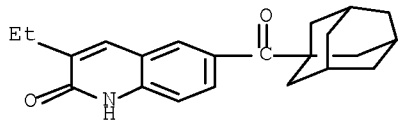
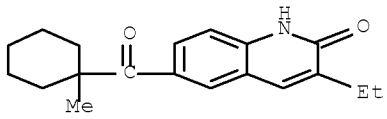
CN 2(1H)-Quinolinone, 3-ethyl-6-(tricyclo[3.3.1.13,7]dec-1-ylcarbonyl)- (CA INDEX NAME)

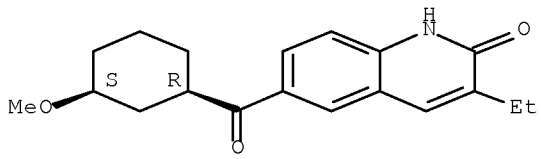


RN 409344-34-9 HCAPLUS
CN 2(1H)-Quinolinone, 3-ethyl-6-[(1-methylcyclohexyl)carbonyl]- (CA INDEX NAME)



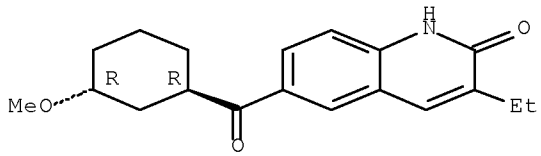
RN 409344-35-0 HCAPLUS
CN 2(1H)-Quinolinone, 3-ethyl-6-[[(1R,3S)-3-methoxycyclohexyl]carbonyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.

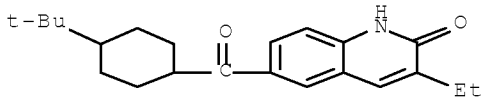


RN 409344-36-1 HCAPLUS
CN 2(1H)-Quinolinone, 3-ethyl-6-[[(1R,3R)-3-methoxycyclohexyl]carbonyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



RN 409344-37-2 HCAPLUS
CN 2(1H)-Quinolinone, 6-[[4-(1,1-dimethylethyl)cyclohexyl]carbonyl]-3-ethyl- (CA INDEX NAME)



RN 409344-38-3 HCAPLUS
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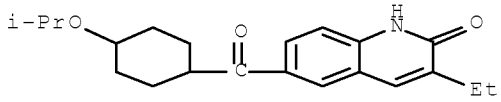

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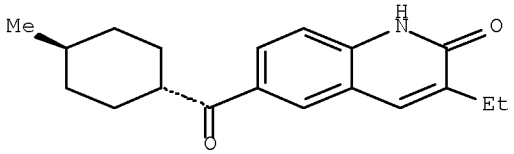
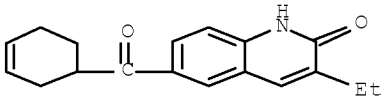
CN 2(1H)-Quinolinone, 3-ethyl-6-[(trans-4-methylcyclohexyl)carbonyl]- (CA INDEX NAME)

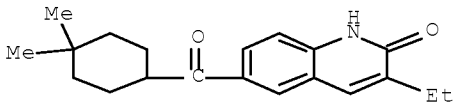
Relative stereochemistry.



RN 409344-45-2 HCAPLUS
CN 2(1H)-Quinolinone, 6-(3-cyclohexen-1-ylcarbonyl)-3-ethyl- (CA INDEX NAME)



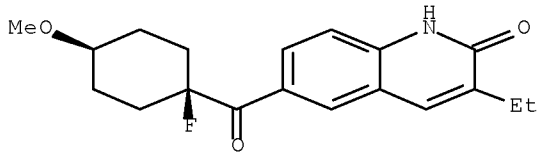
RN 409344-50-9 HCAPLUS
CN 2(1H)-Quinolinone, 6-[(4,4-dimethylcyclohexyl)carbonyl]-3-ethyl- (CA INDEX NAME)



RN 409344-62-3 HCAPLUS

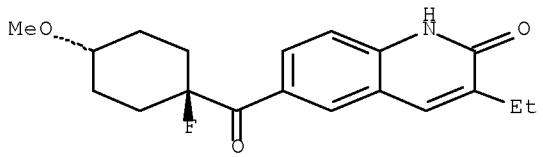
CN 2(1H)-Quinolinone, 3-ethyl-6-[(cis-1-fluoro-4-methoxycyclohexyl)carbonyl]- (CA INDEX NAME)

Relative stereochemistry.

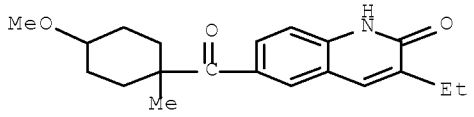


RN 409344-64-5 HCAPLUS
CN 2(1H)-Quinolinone, 3-ethyl-6-[(trans-1-fluoro-4-methoxycyclohexyl)carbonyl]- (CA INDEX NAME)

Relative stereochemistry.

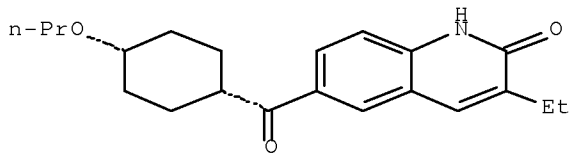


RN 409344-66-7 HCAPLUS
CN 2(1H)-Quinolinone, 3-ethyl-6-[(4-methoxy-1-methylcyclohexyl)carbonyl]- (CA INDEX NAME)

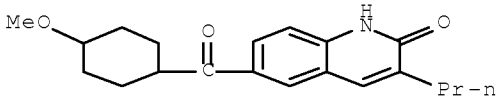


RN 409344-72-5 HCAPLUS
CN 2(1H)-Quinolinone, 3-ethyl-6-[(cis-4-propoxycyclohexyl)carbonyl]- (CA INDEX NAME)

Relative stereochemistry.

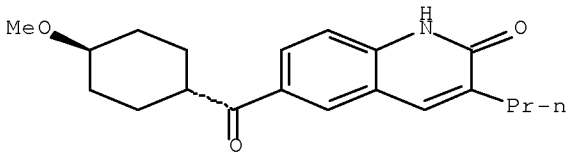


RN 409344-83-8 HCAPLUS
CN 2(1H)-Quinolinone, 6-[(4-methoxycyclohexyl)carbonyl]-3-propyl- (CA INDEX NAME)

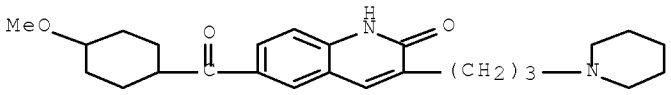


RN 409344-85-0 HCAPLUS
CN 2(1H)-Quinolinone, 6-[(trans-4-methoxycyclohexyl)carbonyl]-3-propyl- (CA INDEX NAME)

Relative stereochemistry.

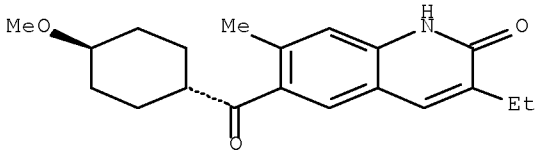


RN 409345-13-7 HCAPLUS
CN 2(1H)-Quinolinone, 6-[(4-methoxycyclohexyl)carbonyl]-3-[3-(1-piperidinyl)propyl]- (CA INDEX NAME)



RN 409345-52-4 HCAPLUS
CN 2(1H)-Quinolinone, 3-ethyl-6-[(trans-4-methoxycyclohexyl)carbonyl]-7-methyl- (CA INDEX NAME)

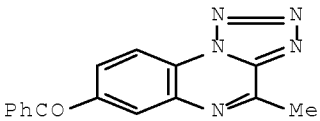
Relative stereochemistry.



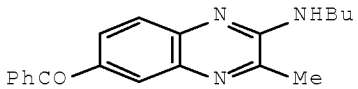
OS.CITING REF COUNT: 18 THERE ARE 18 CAPLUS RECORDS THAT CITE THIS RECORD (18 CITINGS)
REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 8 OF 8 HCAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2000:527827 HCAPLUS Full-text
DOCUMENT NUMBER: 134:162992
TITLE: Synthesis and antimicrobial activities of some novel quinoxalinone derivatives

AUTHOR(S): Ali, M. M.; Ismail, M. M. F.; El-Gaby, M. S. A.; Zahran, M. A.; Ammar, Y. A.
CORPORATE SOURCE: Dep. of Chemistry, Faculty of Science, Al-Azhar Univ., Cairo, 11884, Egypt
SOURCE: Molecules [online computer file] (2000), 5(6), 864-873
CODEN: MOLEFW; ISSN: 1420-3049
URL: http://www.mdpi.org/molecules/papers/50600864.pdf
PUBLISHER: Molecular Diversity Preservation International
DOCUMENT TYPE: Journal; (online computer file)
LANGUAGE: English
OTHER SOURCE(S): CASREACT 134:162992
GI



III

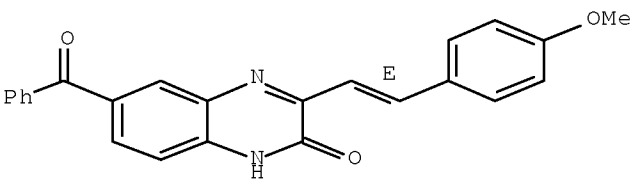


IV

AB Condensation of 4-benzoyl-1,2-phenylenediamine with sodium pyruvate in acetic acid furnished two products, which were identified as 6-benzoyl- (I) and 7-benzoyl-3-methyl-2(1H)-quinoxalinone (II). Fusion of I with aromatic aldehydes furnished the styryl derivs. Alkylation of I and II with di-Me sulfate or Et chloroacetate produced the N-alkyl derivs. Hydrazinolysis of one ester derivative with hydrazine hydrate afforded the hydrazide derivative, which underwent condensation with aldehydes to give the corresponding hydrazone derivs. In addition, chlorination of I with thionyl chloride afforded the 2-chloro derivative, which was subjected to reaction with sodium azide and n-butylamine to yield the corresponding tetrazolo (III) and n-butylamino (IV) derivs., resp. The structures of the compds. prepared were confirmed by anal. and spectral data. Also, some of the synthesized compds. were screened for antimicrobial activity.

IT 325469-54-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and antimicrobial activities of quinoxalinone derivs.)
RN 325469-54-3 HCAPLUS
CN 2(1H)-Quinoxalinone, 6-benzoyl-3-[(1E)-2-(4-methoxyphenyl)ethenyl]- (CA INDEX NAME)

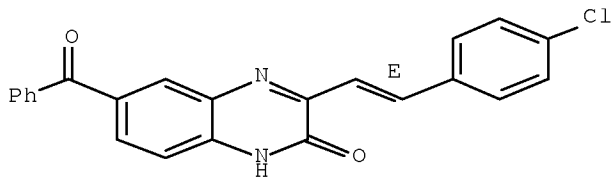
Double bond geometry as shown.



IT 325469-53-2P 325469-55-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and antimicrobial activities of quinoxalinone derivs.)

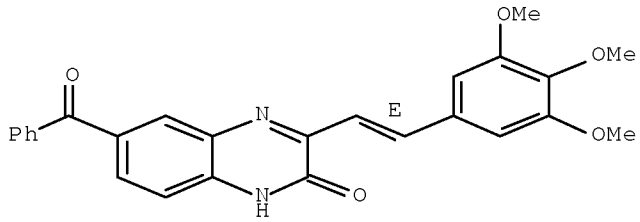
RN 325469-53-2 HCAPLUS
CN 2(1H)-Quinoxalinone, 6-benzoyl-3-[(1E)-2-(4-chlorophenyl)ethenyl]- (CA INDEX NAME)

Double bond geometry as shown.



RN 325469-55-4 HCAPLUS
CN 2(1H)-Quinoxalinone, 6-benzoyl-3-[(1E)-2-(3,4,5-trimethoxyphenyl)ethenyl]- (CA INDEX NAME)

Double bond geometry as shown.



OS.CITING REF COUNT: 39 THERE ARE 39 CAPLUS RECORDS THAT CITE THIS RECORD (39 CITINGS)
REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> => d stat que l17
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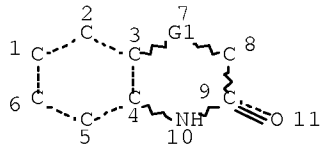

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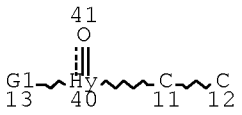
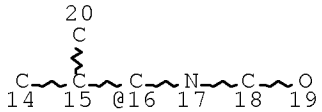
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

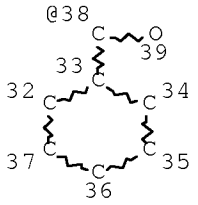
GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 11

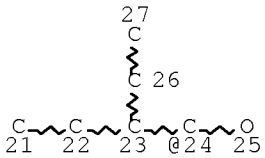
STEREO ATTRIBUTES: NONE

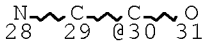
L3 127284 SEA FILE=REGISTRY SSS FUL L1
L6 STR











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NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
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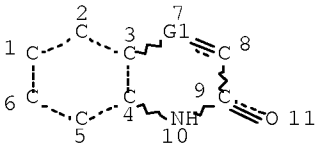
NUMBER OF NODES IS 31

STEREO ATTRIBUTES: NONE
L9 STR



VAR G1=CH/N
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 11

STEREO ATTRIBUTES: NONE
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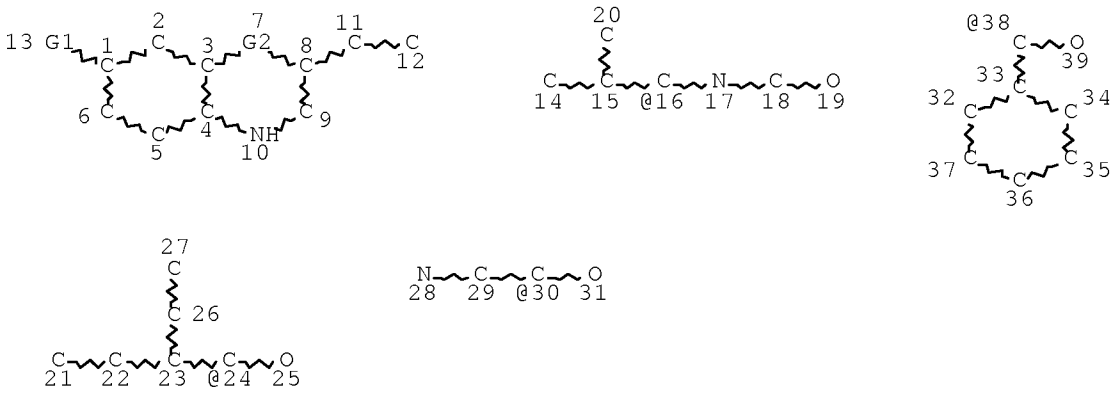
L12 STR



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NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 39

STEREO ATTRIBUTES: NONE

L13	48	SEA FILE=REGISTRY SUB=L11 SSS FUL L12
L14	8	SEA FILE=HCAPLUS ABB=ON PLU=ON L13
L15	39	SEA FILE=REGISTRY ABB=ON PLU=ON L11 NOT L13
L16	5	SEA FILE=HCAPLUS ABB=ON PLU=ON L15
L17	5	SEA FILE=HCAPLUS ABB=ON PLU=ON L16 NOT L14

=> d ibib abs hitstr l17 1-5

L17 ANSWER 1 OF 5 HCAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2009:1501809 HCAPLUS Full-text
DOCUMENT NUMBER: 152:12347
TITLE: Spiro[pyrazolopyran-piperidine] ketones as acetyl-CoA carboxylase inhibitors and their preparation, pharmaceutical compositions and use in the treatment of diseases
INVENTOR(S): Corbett, Jeffrey Wayne; Elliott, Richard Louis; Freeman-Cook, Kevin Daniel; Griffith, David Andrew; Phillion, Dennis Paul
PATENT ASSIGNEE(S): Pfizer, Inc., USA
SOURCE: PCT Int. Appl., 147pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2009144554	A1	20091203	WO 2009-IB5649	20090518

W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 2008-56652P P 20080528
US 2008-58689P P 20080604
US 2009-171519P P 20090422

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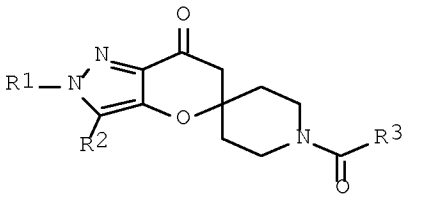

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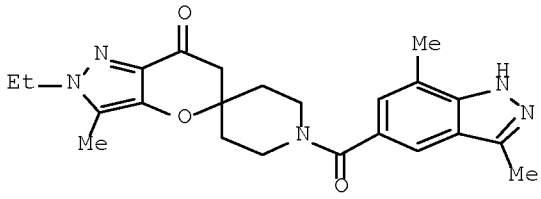


II

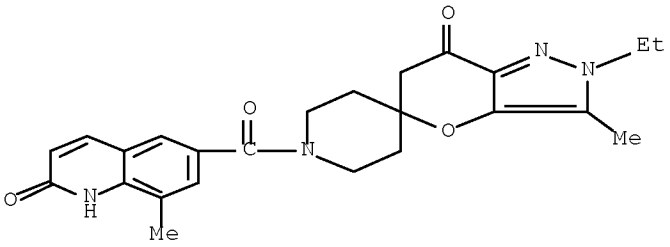
AB The invention provides compds. of formula I or a pharmaceutically acceptable salt of said compound, pharmaceutical compns. thereof; and the use thereof in treating diseases, conditions or disorders modulated by the inhibition of acetyl-CoA carboxylase enzyme(s) in an animal. Compds. of formula I wherein R1 is C1-4 alkyl, C3-6 cycloalkyl, tetrahydrofuranyl, Bn, etc.; R2 is H, Me and Et; R3 is (un)substituted benzazole, (un)substituted quinolinyl,(un)substituted naphthyl, etc.; and pharmaceutically acceptable salts thereof, are claimed. Example compound II was prepared by a general procedure (procedure given). All the invention compds. were evaluated for their acetyl-CoA carboxylase inhibitory activity. From the assay, it was determined that compound II exhibited IC50 values in the range of 9 - 11 nM.

IT 1197942-50-9P 1197942-52-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of spiro[pyrazolopyran-piperidine] ketones as acetyl-CoA carboxylase inhibitors useful in the treatment of acetyl-CoA carboxylase-mediated diseases)

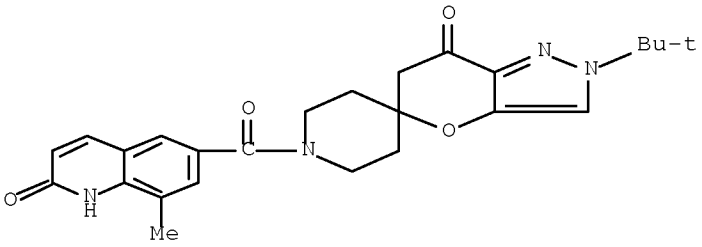
RN 1197942-50-9 HCAPLUS

CN Spiro[piperidine-4,5'(7'H)-pyrano[3,2-c]pyrazol]-7'-one, 1-[(1,2-dihydro-8-methyl-2-oxo-6-quinolinyl)carbonyl]-2'-ethyl-2',6'-

dihydro-3'-methyl- (CA INDEX NAME)



RN 1197942-52-1 HCAPLUS
CN Spiro[piperidine-4,5'(7'H)-pyrano[3,2-c]pyrazol]-7'-one, 1-[(1,2-dihydro-8-methyl-2-oxo-6-quinolinyl)carbonyl]-2'-(1,1-dimethylethyl)-2',6'-dihydro- (CA INDEX NAME)



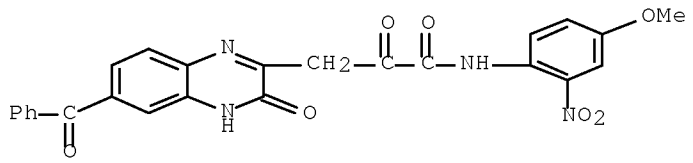
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 2 OF 5 HCAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2009:247530 HCAPLUS Full-text
DOCUMENT NUMBER: 150:438012
TITLE: Virtual screening for Raf-1 kinase inhibitors based on pharmacophore model of substituted ureas
AUTHOR(S): Li, Hui-Fang; Lu, Tao; Zhu, Tian; Jiang, Yong-Jun; Rao, Sha-Sha; Hu, Li-Ye; Xin, Bo-Tao; Chen, Ya-Dong
CORPORATE SOURCE: Department of Organic Chemistry, China Pharmaceutical University, Nanjing, 210009, Peop. Rep. China
SOURCE: European Journal of Medicinal Chemistry (2009), 44(3), 1240-1249
CODEN: EJMCA5; ISSN: 0223-5234
PUBLISHER: Elsevier Masson SAS
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A three-dimensional (3D) quant. pharmacophore model was developed from a training set of structurally diverse substituted ureas against Raf-1 kinase, which was well validated to be highly predictive by two methods, namely, test set prediction and Cat-Scramble method. Then a virtual database searching was performed with the pharmacophore model as a 3D query, and the bioactivities of the retrieved hits were predicted by the pharmacophore. Subsequently, mol. docking was carried out on the selected hits whose estimated IC50 was less than 1 μM. Finally, 29 hits were identified as potential leads against Raf-1 kinase, which exhibited good estimated

activities, high docking scores, similar binding mode to exptl. proven compds. and favorable drug-like properties. The study may facilitate the discovery and rational design of novel leads with potent inhibitory activity targeting Raf-1 kinase.

IT 883829-01-4, NCI 0648594
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(virtual screening for Raf-1 kinase inhibitors based on pharmacophore model of substituted ureas)

RN 883829-01-4 HCAPLUS
CN 2-Quinoxalinepropanamide, 6-benzoyl-3,4-dihydro-N-(4-methoxy-2-nitrophenyl)-α,3-dioxo- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
REFERENCE COUNT: 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 3 OF 5 HCAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2005:523429 HCAPLUS Full-text
DOCUMENT NUMBER: 143:60002
TITLE: Preparation of 7-phenylalkyl substituted 2-quinolinones and 2-quinoxalinones as poly(ADP-ribose) polymerase inhibitors
INVENTOR(S): Mabire, Dominique Jean-pierre; Guillemont, Jerome Emile Georges; Van Dun, Jacobus Alphonsus Josephus; Somers, Maria Victorina Francisca; Wouters, Walter Boudewijn Leopold
PATENT ASSIGNEE(S): Janssen Pharmaceutica N. V., Belg.
SOURCE: PCT Int. Appl., 55 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005054209	A1	20050616	WO 2004-EP13162	20041118

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
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	NE, SN, TD, TG				
AU 2004295057		A1	20050616	AU 2004-295057	20041118
CA 2546002		A1	20050616	CA 2004-2546002	20041118
EP 1709011		A1	20061011	EP 2004-819600	20041118
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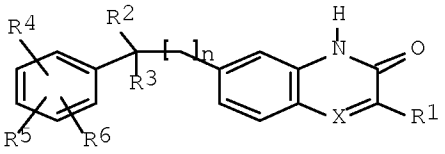
CN 1882549		A	20061220	CN 2004-80034287	20041118
BR 2004016817		A	20070306	BR 2004-16817	20041118
JP 2007513087		T	20070524	JP 2006-540337	20041118
SG 150534		A1	20090330	SG 2009-1198	20041118
US 20080249099		A1	20081009	US 2006-595882	20060517
IN 2006DN02810		A	20070803	IN 2006-DN2810	20060518
MX 2006005686		A	20060817	MX 2006-5686	20060519
ZA 2006004076		A	20070926	ZA 2006-4076	20060519
KR 2006111532		A	20061027	KR 2006-710200	20060525
NO 2006002892		A	20060809	NO 2006-2892	20060620
PRIORITY APPLN. INFO.:				EP 2003-78650 A	20031120
				WO 2004-EP13162 W	20041118

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 143:60002; MARPAT 143:60002

GI



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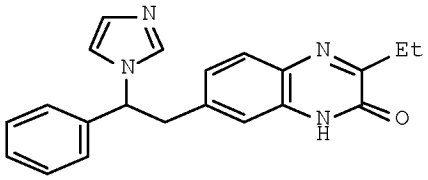


II

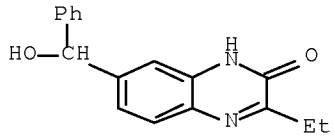
AB The title compds. I [n = 0-2; X = N, CR7; R7 = H or taken together with R1 may form CH:CHCH:CH; R1 = alkyl, thienyl; R2 = H, OH, alkyl, alkynyl or taken together with R3 may form O; R3 = OH, OR10, SR11, etc.; R10 = alkyl, alkylcarbonyl, dialkylaminoalkyl; R11 = dialkylaminoalkyl; R4-R6 = H, halo, trihalomethyl, etc.; with the provision], useful for the treatment of a PARP mediated disorder, were prepared E.g., a multi-step synthesis of II, starting from N-[4-(2-oxo-2-phenylethyl)phenyl]acetamide, was given. The exemplified compds. I were tested in an in vitro assay based on SPA technol. and in an in vitro filtration assay assessing PARP-1 activity (data given). The pharmaceutical composition comprising the compound I is disclosed.

IT 854397-87-8P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of 7-phenylalkyl substituted 2-quinolinones and 2-quinoxalinones as poly(ADP-ribose) polymerase inhibitors)

RN 854397-87-8 HCAPLUS
CN 2(1H)-Quinoxalinone, 3-ethyl-7-(hydroxyphenylmethyl)- (CA INDEX NAME)



IT 854398-62-2P 854398-71-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 7-phenylalkyl substituted 2-quinolinones and 2-quinoxalinones as poly(ADP-ribose) polymerase inhibitors)
RN 854398-62-2 HCAPLUS
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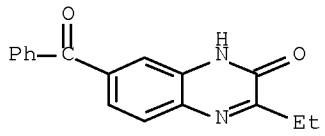
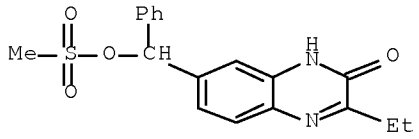


RN 854398-71-3 HCAPLUS
CN 2(1H)-Quinoxalinone, 3-ethyl-7-[[(methylsulfonyl)oxy]phenylmethyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 4 OF 5 HCAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1990:612014 HCAPLUS Full-text
DOCUMENT NUMBER: 113:212014
ORIGINAL REFERENCE NO.: 113:35835a,35838a
TITLE: Preparation of (1H-azol-1-ylmethyl)quinolines, -quinazolines, and -quinoxalines as drugs
INVENTOR(S): Freyne, Eddy Jean Edgard; Venet, Marc Gaston; Raeymaekers, Alfons Herman Margaretha; Sanz, Gerard Charles
PATENT ASSIGNEE(S): Janssen Pharmaceutica N. V., Belg.

SOURCE: Eur. Pat. Appl., 106 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 371564	A2	19900606	EP 1989-203014	19891128
EP 371564	A3	19910529		
EP 371564	B1	19950712		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
US 5028606	A	19910702	US 1989-434957	19891113
US 5037829	A	19910806	US 1989-435120	19891113
CA 2002864	A1	19900529	CA 1989-2002864	19891114
CA 2002864	C	19991116		
DK 8905994	A	19900530	DK 1989-5994	19891128
DK 172748	B1	19990628		
NO 8904734	A	19900530	NO 1989-4734	19891128
NO 174509	B	19940207		
NO 174509	C	19940518		
AU 8945646	A	19900607	AU 1989-45646	19891128
AU 620946	B2	19920227		
HU 52498	A2	19900728	HU 1989-6220	19891128
HU 205106	B	19920330		
ZA 8909076	A	19910731	ZA 1989-9076	19891128
SU 1780536	A3	19921207	SU 1989-4742543	19891128
IL 92486	A	19930708	IL 1989-92486	19891128
ES 2088889	T3	19961001	ES 1989-203014	19891128
FI 101964	B	19980930	FI 1989-5687	19891128
FI 101964	B1	19980930		
CN 1042912	A	19900613	CN 1989-108925	19891129
CN 1033752	C	19970108		
JP 02223579	A	19900905	JP 1989-307793	19891129
JP 2916181	B2	19990705		
US 5151421	A	19920929	US 1991-672298	19910320
US 5185346	A	19930209	US 1991-704746	19910523
US 5268380	A	19931207	US 1992-973871	19921110
US 5441954	A	19950815	US 1993-131817	19931005
CN 1106004	A	19950802	CN 1994-117801	19941102
CN 1036002	C	19971001		
CN 1106005	A	19950802	CN 1994-117802	19941102
CN 1036003	C	19971001		
US 5612354	A	19970318	US 1995-409551	19950323

PRIORITY APPLN. INFO.:

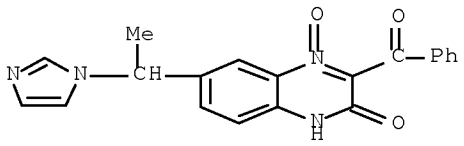
GB 1988-27820	A	19881129
GB 1988-27821	A	19881129
GB 1988-27822	A	19881129
US 1989-434205	B2	19891113
US 1989-434957	A3	19891113
US 1991-704746	A3	19910523
US 1992-973871	A3	19921110
US 1993-131817	A3	19931005

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 113:212014
GI For diagram(s), see printed CA Issue.
AB The title compds. [I; R = H, alkyl; X1:X2 = CH:CH, CH:N, N:CH; Y = H, alkyl, cycloalkyl, alkenyl, alkynyl, (un)substituted aryl, aralkyl; Z = (un)substituted

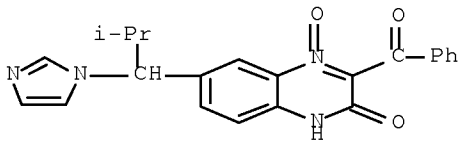
(oxo)quinolinyl, (oxo- or thioxo)quinazolinyl, (oxo- or dioxo)quinoxalinyl] were prepared as retinoic acid metabolism inhibitors, aromatase inhibitors, etc. Thus, 3,4-dihydroquinolin-2(1H)-one was stirred 2 h at 70° with BzCl in DMF containing AlCl3 and the product reduced by NaBH4 to give hydroxymethylquinolinone II (R1 = Ph, R2 = OH). II (R1 = Me, R2 = OH) was stirred overnight with SOCl2 in THF and the product II (R1 = Me, R2 = Cl) stirred overnight at 60-70° with 1H-imidazole in DMSO to give II (R1 = Me, R2 = imidazolo) which maintained plasma levels of i.v. administered all-trans-retinoic acid at ≥10 ng/mL in rats 2 h after oral administration of 40 mg/kg.

IT 130347-04-5P 130347-06-7P 130347-14-7P
130347-20-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as retinoate metabolism and aromatase inhibitor)

RN 130347-04-5 HCAPLUS
CN 2(1H)-Quinoxalinone, 3-benzoyl-6-[1-(1H-imidazol-1-yl)ethyl]-, 4-oxide (CA INDEX NAME)



RN 130347-06-7 HCAPLUS
CN 2(1H)-Quinoxalinone, 3-benzoyl-6-[1-(1H-imidazol-1-yl)-2-methylpropyl]-, 4-oxide (CA INDEX NAME)



RN 130347-14-7 HCAPLUS
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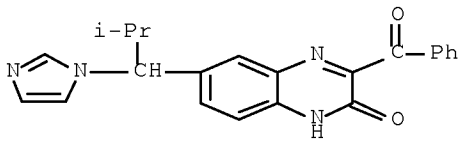
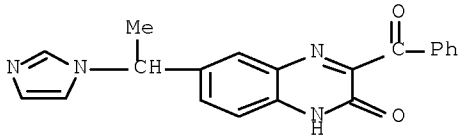


RN 130347-20-5 HCAPLUS
CN 2(1H)-Quinoxalinone, 3-benzoyl-6-[1-(1H-imidazol-1-yl)ethyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 24 THERE ARE 24 CAPLUS RECORDS THAT CITE THIS RECORD (43 CITINGS)

L17 ANSWER 5 OF 5 HCAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1978:152450 HCAPLUS Full-text
DOCUMENT NUMBER: 88:152450
ORIGINAL REFERENCE NO.: 88:24021a,24024a
TITLE: Carbostyril derivatives
INVENTOR(S): Yoshizaki, Shiro; Sakano, Kazuhisa; Ishikawa, Hiroshi; Nakagawa, Kazuyuki
PATENT ASSIGNEE(S): Otsuka Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 14 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 53005175	A	19780118	JP 1976-58040	19760519
JP 59036621	B	19840905		

PRIORITY APPLN. INFO.: JP 1976-58040 A 19760519
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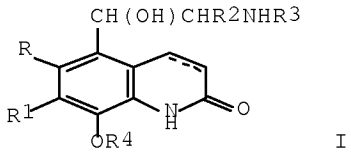
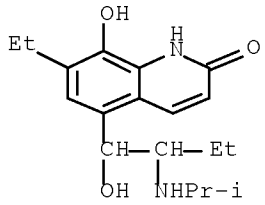


I

AB Eighteen carbostyril derivs. I (R, R1 = H, halo, NO2, NH2, OH, SO3H, cyano, alkyl, F3C, CO2H; both R and R1 are not H; R2, R3, R4 = H, alkyl) were prepared by proper chemical reactions of I (R = R1 = H). I were evaluated for their β-adrenergic nerve-stimulating activity with isolated guinea pig bronchi and atria. Thus, 0.14 g Cl in AcOH was added to 0.58 g 8-hydroxy-5-(2-isopropylamino-1-hydroxybutyl)carbostyril in AcOH-CCl4 at -5° to 0° and the mixture stirred 1 h to give 0.53 g 7-chloro-8-hydroxy-5-(2-isopropylamino-1-hydroxybutyl)carbostyril HCl.

IT 66283-41-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 66283-41-8 HCAPLUS
CN 2(1H)-Quinolinone, 7-ethyl-8-hydroxy-5-[1-hydroxy-2-[(1-methylethyl)amino]butyl]-, hydrobromide (1:1) (CA INDEX NAME)



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L12            STR
L13            48 SEA SUB=L11 SSS FUL L12

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L17             5 SEA ABB=ON  PLU=ON  L16 NOT L14
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